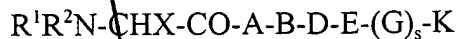


## CLAIMS

What is claimed is:

5 1. Novel peptides of the formula I



I

where

R<sup>1</sup> is hydrogen, methyl; or ethyl;

R<sup>2</sup> is methyl; or ethyl; or

10 R<sup>1</sup>-N-R<sup>2</sup> together are a pyrrolidine ring;

A is a valyl, isoleucyl, allo-isoleucyl, 2-tert-butylglycyl, 2-ethylglycyl, norleucyl or norvalyl residue;

B is a N-methyl-valyl, N-methyl-norvalyl, N-methyl-leucyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;

15 D is a prolyl, homoprolyl, hydroxyprolyl, or thiazolidine-4-carbonyl residue;

E is a prolyl, homoprolyl, hydroxyprolyl, thiazolidine-4-carbonyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;

20 X is ethyl, propyl, butyl, isopropyl, sec. butyl, tert.-butyl, cyclopropyl, or cyclopentyl;

G is a L-2-tert.butylglycyl, D-2-terr.butylglycyl, D-valyl, D-isoleucyl, D-leucyl, D-norvalyl, 1-aminopentyl-1-carbonyl, or 2,2-dimethylglycyl residue;

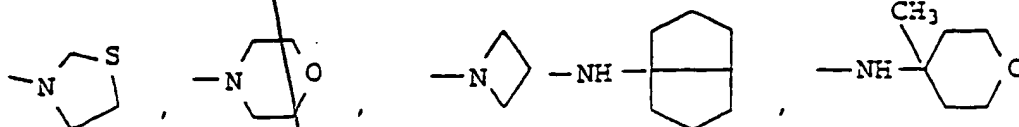
25 s is 0 or 1;

K is -NH-C<sub>1-8</sub>-alkyl, -NH-C<sub>3-8</sub>-alkenyl, -NH-C<sub>3-8</sub>-alkinyl, -NH-C<sub>6-8</sub>-cycloalkyl, -NH-C<sub>1-4</sub>-alkene-C<sub>3-8</sub>-cycloalkyl, C<sub>1-4</sub>-alkyl-N-C<sub>1-6</sub>-alkyl, in which residues one CH<sub>2</sub> group may be replaced by O or

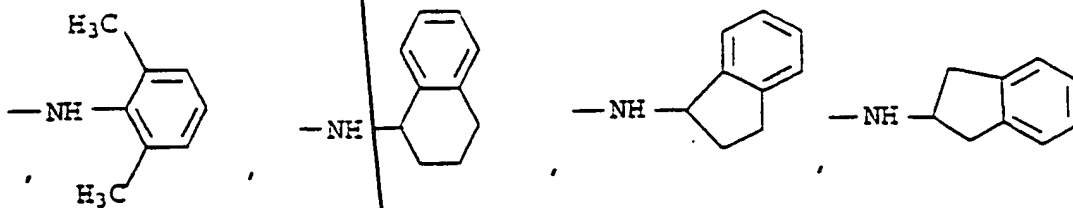
Sub  
C1

S, one H by phenyl or cyano, or 1, 2 or 3 H by F, except the N-methoxy-N-methylamino, N-benzylamino, or N-methyl-N-benzylamino residue, or K is

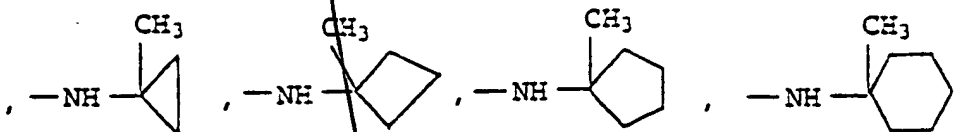
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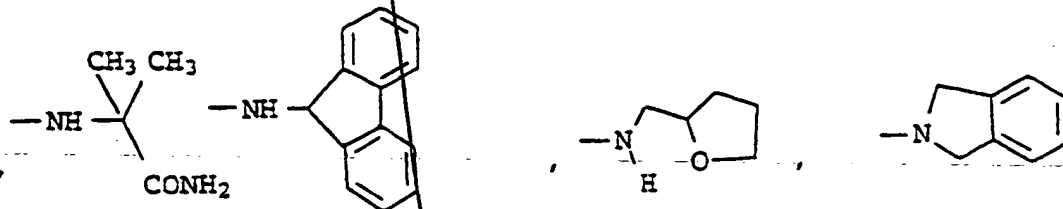
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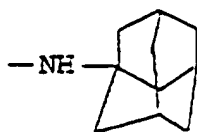
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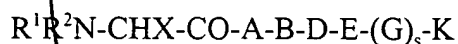
25



and the salts thereof with physiologically tolerated acids.

SUB  
C1

## 2. Novel peptides of the formula I



I

where

$R^1$  is hydrogen, methyl; or ethyl;

5  $R^2$  is methyl; or ethyl ; or

$R^1-N-R^2$  together are a pyrrolidine ring;

A is a valyl, isoleucyl, allo-isoleucyl, 2-tert-butylglycyl, 2-ethylglycyl, norleucyl or norvalyl residue;

10 B is a N-methyl-valyl, N-methyl-norvalyl, N-methyl-leucyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;

D is a prolyl, homoprolyl, hydroxyprolyl, or thiazolidine-4-carbonyl residue;

15 E is a prolyl, homoprolyl, hydroxyprolyl, thiazolidine-4-carbonyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;

X is ethyl, propyl, butyl, isopropyl, sec. butyl, tert.butyl, cyclopropyl, or cyclopentyl;

20 G is a L-2-tert.butylglycyl, D-2-terr.butylglycyl, D-valyl, D-isoleucyl, D-leucyl, D-norvalyl, 1-aminopentyl-1-carbonyl, or 2,2-dimethylglycyl residue;

s is 0 or 1;

25 K  $-NHCH_3$ ,  $-NHCH_2CH_3$ ,  $-NH(CH_2)_2CH_3$ ,  $-NH(CH_2)_3CH_3$ ,  
 $-NH(CH_2)_4CH_3$ ,  $-NH(CH_2)_5CH_3$ ,  $-NH(CH_2)_6CH_3$ ,  
 $-NHCH(CH_2)_7CH_3$ ,  $-NHCH(CH_3)_2$ ,  $-NHCH(CH_3)CH_2CH_3$ ,  
 $-NHCH(CH_2CH_3)_2$ ,  $-NHCH(CH_2CH_2CH_3)_2$ ,  $-NHC(CH_3)_3$ ,  
 $-NHCH(CH_2CH_3)CH_2CH_2CH_3$ ,  $-NHCH(CH_3)CH(CH_3)_2$ ,  
 $-NHCH(CH_2CH_3)CH(CH_3)_2$ ,  $-NHCH(CH_3)C(CH_3)_3$ ,  
 $-NH$ -cyclohexyl,  $-NH$ -cycloheptyl,  $-NH$ -cyclooctyl,

Sub  
C1

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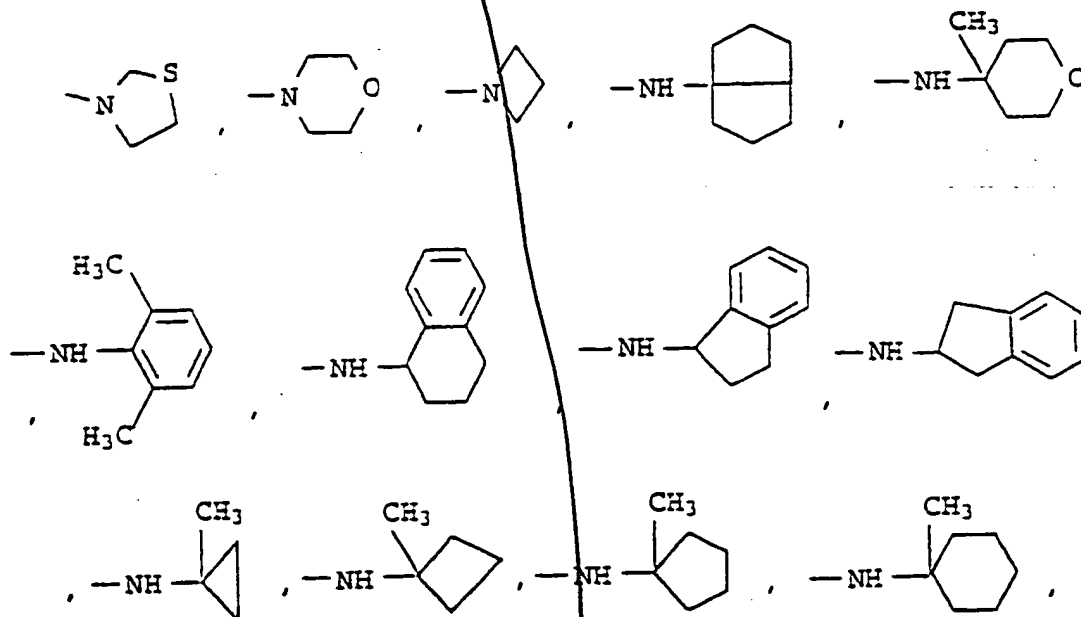
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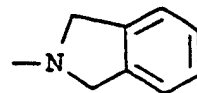
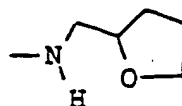
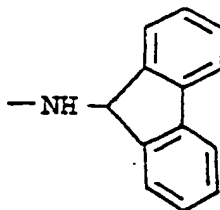
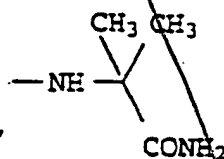
or K is

20

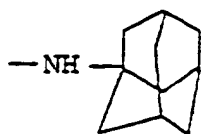
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$-N(CH_3)OCH_2CH_3$ ,  $-N(CH_3)OCH_2CH_2CH_3$ ,  $-N(CH_3)OCH(CH_3)_2$ ,  
 $-N(CH_3)O(CH_2)_3CH_3$ ,  $-N(CH_3)OCH_2C_6H_5$ ,  $-NH(CH_2)_2C_6H_5$ ,  
 $-NH(CH_2)_3C_6H_5$ ,  $-NHCH(CH_3)C_6H_5$ ,  $-NHC(CH_3)_2C_6H_5$ ,  
 $-NHC(CH_3)_2CH_2CH_3$ ,  $-NHC(CH_3)(CH_2CH_3)_2$ ,  $-NHCH[CH(CH_3)_2]_2$ ,  
 $-NHC(CH_3)_2CN$ ,  $-NHCH(CH_3)CH(OH)C_6H_5$ ,  $-NHCH_2$ -cyclohexyl,  
 $-NHCH_2C(CH_3)_3$ ,  $-NHCH_2CH(CH_3)_2$ ,  $-NHCH_2CF_3$ ,  $-NHCH(CH_2F)_2$ ,  
 $-NHCH_2CH_2F$ ,  $-NHCH_2CH_2OCH_3$ ,  $-NHCH_2CH_2SCH_3$ ,  
 $-NHCH_2CHCH_2$ ,  $-NH-C(CH_3)_2CH=CH_2$ ,  $-NHC(CH_3)_2C\equiv CH$ ,  
 $-NHC(CH_3)_2C\equiv CH$ ,  $-NHC(CH_3)_2CH_2CH_2OH$ ,  
 $-NH(CH_2CH_2O)_2CH_2CH_3$ ,  $-NHC(CH_3)_2CH(CH_3)_2$ ,  
 $-NHC(CH_3)_2CH_2CH_2CH_3$ ,  $-NHC(CH_3)_2CH_2C_6H_5$ ,  
 $-N(OCH_3)CH(CH_3)_2$ ,  $-N(OCH_3)CH_2CH_3$ ,  $-N(OCH_3)CH_2CH_2CH_3$ ,  
 $-N(OCH_3)CH_2C_6H_5$ ,  $-N(OCH_3)C_6H_5$ ,  $-N(CH_3)OC_6H_5$ ,  
 $-NHCH[CH(CH_3)_2]_2$ ,  $-N(OCH_3)CH_2CH_2CH_2CH_3$ ,

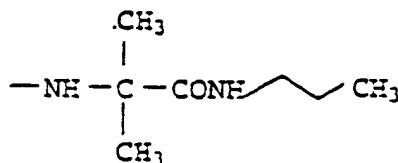


SUB  
C1

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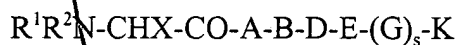
OR



10

And the salts thereof with physiologically tolerated acids.

3. Novel peptides of the formula I



I

15

where

$R^1$  is hydrogen, methyl; or ethyl;

$R^2$  is methyl; or ethyl ;

A is a valyl, isoleucyl, 2-tert-butylglycyl, 2-ethylglycyl, norleucyl or norvalyl residue;

20

B is a N-methyl-valyl, N-methyl-norvalyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;

D is a prolyl, or thiazolidine-4-carbonyl residue;

E is a prolyl, homoprolyl, thiazolidine-4-carbonyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;

25

X is ethyl, propyl, isopropyl, sec. butyl, tert.-butyl, or cyclopropyl;

G is a L-2-tert.butylglycyl, D-2-terr.butylglycyl, D-valyl, D-isoleucyl, D-leucyl, or 2,2-dimethylglycyl residue;

Sub  
C1

s

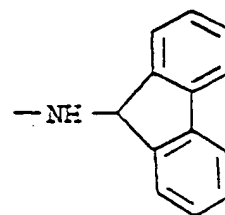
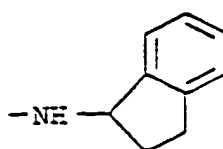
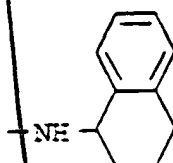
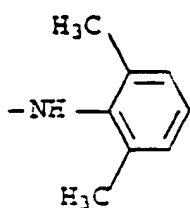
is 0 or 1;

K

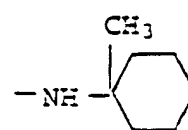
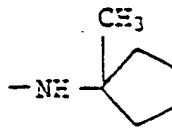
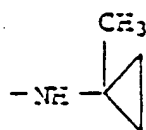
is -NH-C<sub>1-8</sub>-alkyl, -NH-C<sub>6-8</sub>-cycloalkyl, -NH-CH<sub>2</sub>-cyclohexyl, C<sub>1-4</sub>-alkyl-N-C<sub>1-6</sub>-alkyl, in which residues one CH<sub>2</sub> group may be replaced by O, one H by phenyl or 1 or 2 H by F, except the N-methoxy-N-methylamino, N-benzylamino or N-methyl-N-benzylamino residue, or K is

5

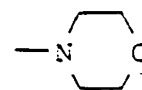
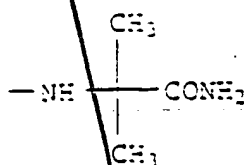
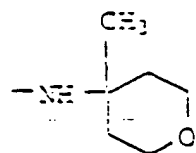
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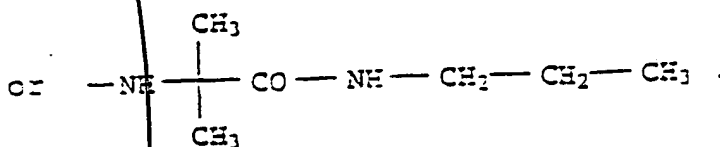
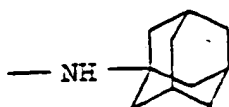
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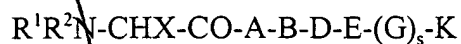


25



4.  
SUB  
C1

Novel peptides of the formula I



I

where

$R^1$  is methyl;

5  $R^2$  is methyl;

A is a valyl, isoleucyl, 2-tert-butylglycyl, or 2-ethylglycyl;

B is a N-methyl-valyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;

D is a prolyl, or thiazolidine-4-carbonyl residue;

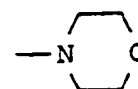
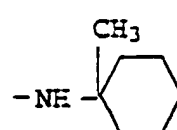
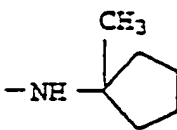
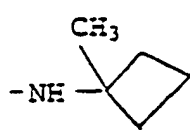
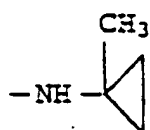
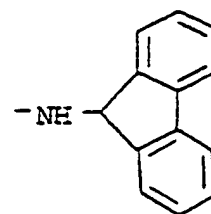
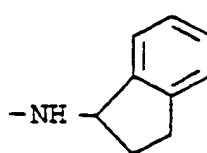
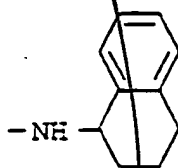
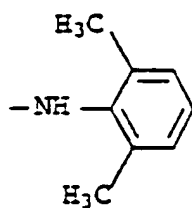
10 E is a prolyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;

X is ethyl, isopropyl, sec. butyl, or tert. butyl ;

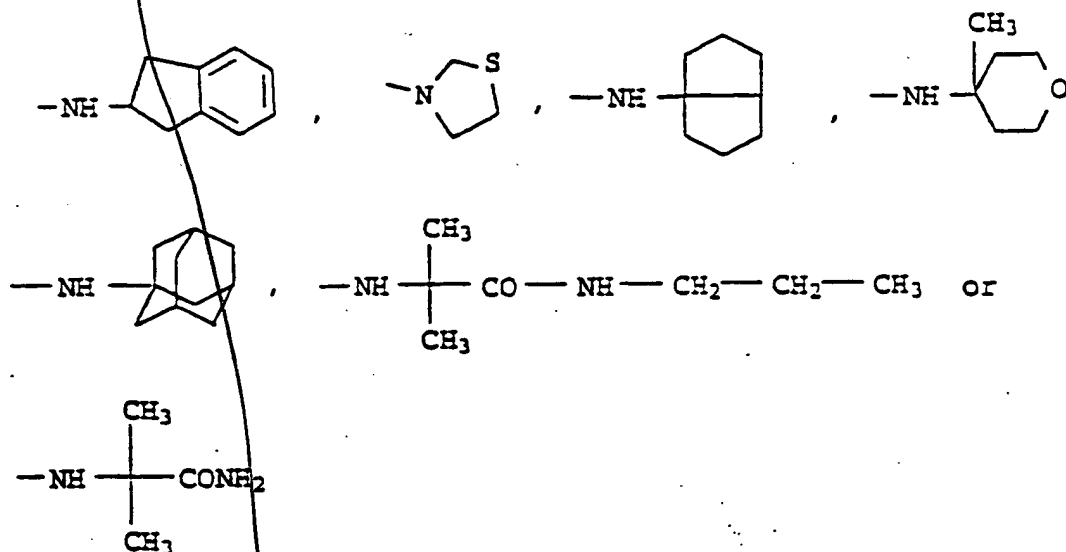
G is a L-2-tert.butylglycyl, D-2-terr.butylglycyl, D-valyl, D-isoleucyl, D-leucyl, or 2,2-dimethylglycyl residue;

15 s is 0 or 1;

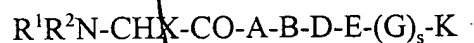
20 K is  $-NH-C_{1-8}$ -alkyl,  $-NH-C_{6-8}$ -cycloalkyl,  $-NH-CH_2$ -cyclohexyl,  $C_{1-4}$ -alkyl- $N-C_{1-6}$ -alkyl, in which residues one  $CH_2$  group may be replaced by O, one H by phenyl or 1 or 2 H by F, except the N-methoxy-N-methylamino, N-benzylamino or N-methyl-N-benzylamino residue, or K is



-53-

Sub  
C1

## 5. Novel peptides of the formula I



where

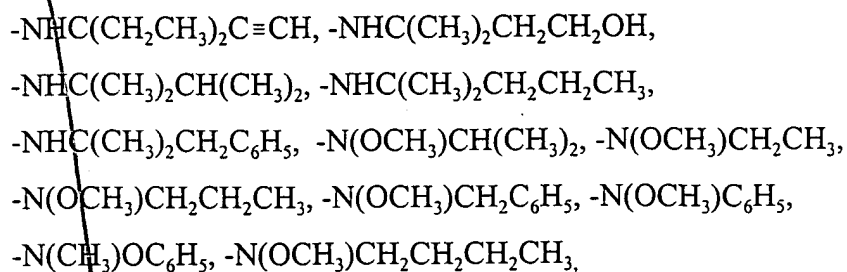
- 15  $\text{R}^1$  is methyl;  
 $\text{R}^2$  is methyl;  
 A is a valyl, isoleucyl, or 2-tert-butylglycyl residue;  
 B is a N-methyl-valyl, N-methyl-isoleucyl, or N-methyl-2-tert-butylglycyl residue;  
 20 D is a prolyl, or thiazolidine-4-carbonyl residue;  
 E is a prolyl, cis-4-fluoro-L-prolyl or cis-4-chloro-L-prolyl residue;  
 X is isopropyl, sec. butyl, or tert.-butyl ;  
 s is 0 or 1;  
 K is  $\text{—NHC(CH}_3)_3$ ,  $\text{—NHCH(CH}_2\text{CH}_2\text{)CH(CH}_3)_2$ ,  $\text{—NHCH(CH}_3\text{)C(CH}_3)_3$ ,  
 25  $\text{—N(CH}_3\text{)OCH}_2\text{CH}_3$ ,  $\text{—N(CH}_3\text{)OCH}_2\text{CH}_2\text{CH}_3$ ,  $\text{—N(CH}_3\text{)OCH(CH}_3)_2$ ,  
 $\text{—N(CH}_3\text{)O(CH}_2)_3\text{CH}_3$ ,  $\text{—N(CH}_3\text{)OCH}_2\text{C}_6\text{H}_5$ ,  $\text{—NHC(CH}_3)_2\text{C}_6\text{H}_5$ ,  
 $\text{—NHC(CH}_3)_2\text{CH}_2\text{CH}_3$ ,  $\text{—NHC(CH}_3\text{)(CH}_2\text{CH}_3)_2$ ,  
 $\text{—NHCH[CH(CH}_3)_2]_2$ ,  $\text{—NHC(CH}_3)_2\text{CN}$ ,  $\text{—NHCH(CH}_3\text{)CH(OH)C}_6\text{H}_5$ ,  
 $\text{—NH—C(CH}_3)_2\text{CH=CH}_2$ ,  $\text{—NHC(CH}_3)_2\text{C}\equiv\text{CH}$ ,



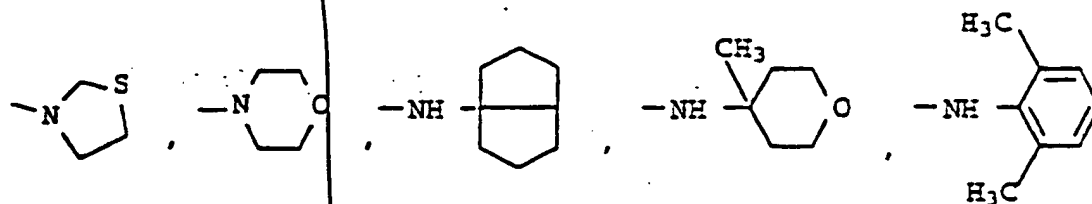
Sub  
Cl

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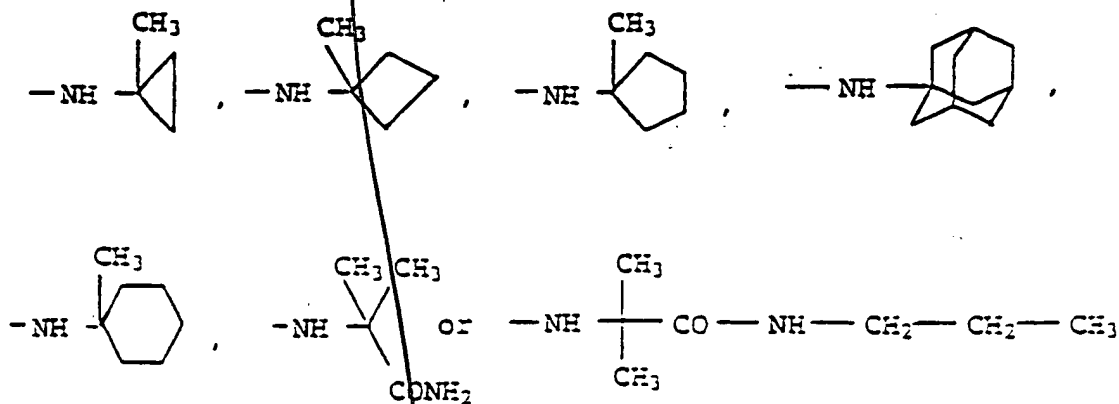
or K is



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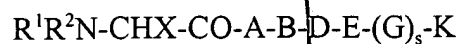
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and the salts thereof with physiologically tolerated acids.

## 6. Novel peptides of the formula I



I

25

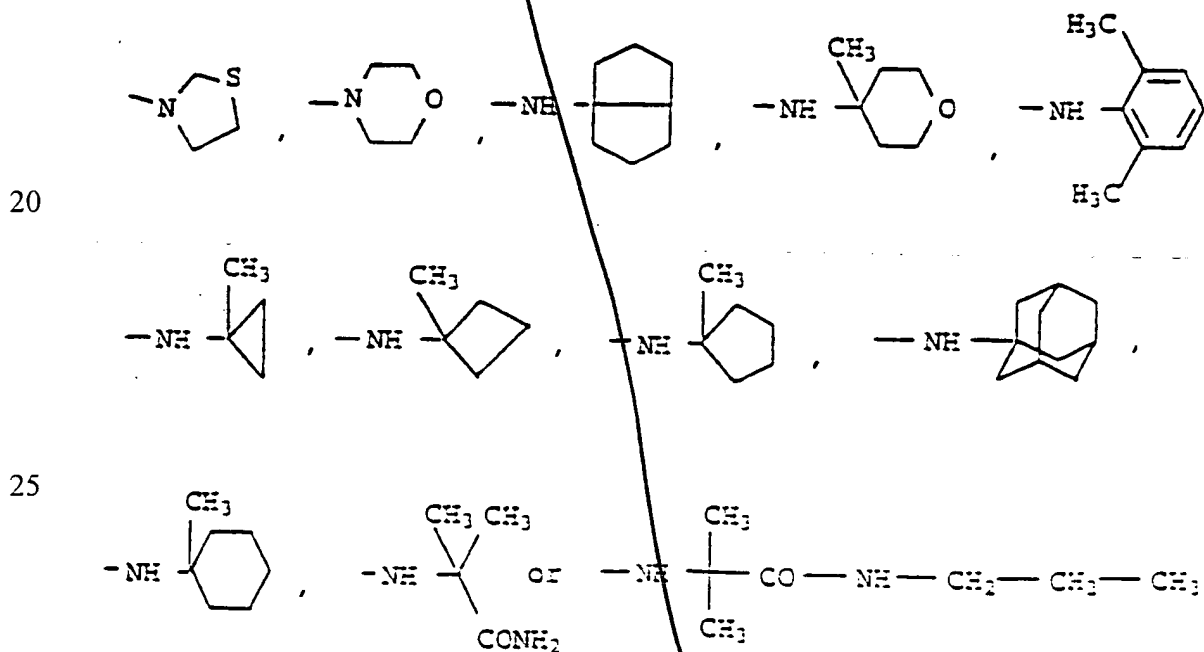
where

- $\text{R}^1$  is methyl;  
 $\text{R}^2$  is methyl;  
 $\text{A}$  is a valyl residue;  
 $\text{B}$  is a N-methyl-valyl residue;

SUB  
C1

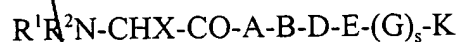
- D is a prolyl residue;  
 E is a prolyl residue;  
 X is isopropyl ;  
 s is 0 or 1;  
 5 K is  $-\text{NHC}(\text{CH}_3)_3$ ,  $-\text{NHCH}(\text{CH}_2\text{CH}_2)\text{CH}(\text{CH}_3)_2$ ,  $-\text{NHCH}(\text{CH}_3)\text{C}(\text{CH}_3)_3$ ,  
 $-\text{N}(\text{CH}_3)\text{OCH}_2\text{CH}_3$ ,  $-\text{N}(\text{CH}_3)\text{OCH}_2\text{CH}_2\text{CH}_3$ ,  $-\text{N}(\text{CH}_3)\text{OCH}(\text{CH}_3)_2$ ,  
 $-\text{N}(\text{CH}_3)\text{O}(\text{CH}_2)_3\text{CH}_3$ ,  $-\text{N}(\text{CH}_3)\text{OCH}_2\text{C}_6\text{H}_5$ ,  $-\text{NHC}(\text{CH}_3)_2\text{C}_6\text{H}_5$ ,  
 $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{CH}_3$ ,  $-\text{NHC}(\text{CH}_3)(\text{CH}_2\text{CH}_3)_2$ ,  
 10  $-\text{NHCH}[\text{CH}(\text{CH}_3)_2]_2$ ,  $-\text{NHC}(\text{CH}_3)_2\text{CN}$ ,  $-\text{NHCH}(\text{CH}_3)\text{CH}(\text{OH})\text{C}_6\text{H}_5$ ,  
 $-\text{NH}-\text{C}(\text{CH}_3)_2\text{CH}=\text{CH}_2$ ,  $-\text{NHC}(\text{CH}_3)_2\text{C}\equiv\text{CH}$ ,  
 $-\text{NHC}(\text{CH}_2\text{CH}_3)_2\text{C}\equiv\text{CH}$ ,  $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{CH}_2\text{OH}$ ,  
 $-\text{NHC}(\text{CH}_3)_2\text{CH}(\text{CH}_3)_2$ ,  $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{CH}_2\text{CH}_3$ ,  
 $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{C}_6\text{H}_5$ ,  $-\text{N}(\text{OCH}_3)\text{CH}(\text{CH}_3)_2$ ,  $-\text{N}(\text{OCH}_3)\text{CH}_2\text{CH}_3$ ,  
 $-\text{N}(\text{OCH}_3)\text{CH}_2\text{CH}_2\text{CH}_3$ ,  $-\text{N}(\text{OCH}_3)\text{CH}_2\text{C}_6\text{H}_5$ ,  $-\text{N}(\text{OCH}_3)\text{C}_6\text{H}_5$ ,  
 15  $-\text{N}(\text{CH}_3)\text{OC}_6\text{H}_5$ ,  $-\text{N}(\text{OCH}_3)\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_3$ .

or K is



and the salts thereof with physiologically tolerated acids.

7. Novel peptides of the formula I



I

where

R<sup>1</sup> is methyl;

R<sup>2</sup> is methyl;

A is a valyl, isoleucyl, or 2-tert-butylglycyl residue;

B is a N-methyl-valyl, N-methyl-isoleucyl, or N-methyl-2-tert-butylglycyl residue;

D is a prolyl, or thiazolidine-4-carbonyl residue;

E is a prolyl residue;

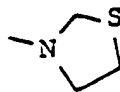
X is isopropyl, sec. butyl, or tert.-butyl ;

G is a D-2-tert.butylglycyl, D-isoleucyl, 2,2-dimethylglycyl residue, D-valyl or L-2-tert.butylglycyl;

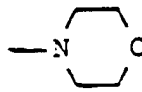
s is 1;

K is -NHCH<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>3</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>5</sub>CH<sub>3</sub>, -NHCH(CH<sub>3</sub>)<sub>2</sub>, -NHCH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>, -NHCH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -NHC(CH<sub>3</sub>)<sub>3</sub>, -NH-cyclohexyl, -NHC(CH<sub>3</sub>)<sub>2</sub>CN, -NCH(CH<sub>3</sub>)<sub>2</sub>C≡CH or -NHC(CH<sub>3</sub>)<sub>2</sub>CONH<sub>2</sub>;

20 or K is



C=



25

and the salts thereof with physiologically tolerated acids.

8. Compounds of formula I or salts thereof for use in treating diseases.
9. The method of preparing compounds of formula I according to claim 1  
characterized in that they are prepared according to known methods of peptide  
chemistry.
- 5

add  
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